10/517,295

10/517,294
Parines

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5	MAY 11	KOREAPAT updates resume
NEWS 6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS 13	JUl 14	FSTA enhanced with Japanese patents
NEWS 14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS 15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 19	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation

NEWS EXPRESS	JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:10:16 ON 25 SEP 2006

=> FILE REG
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:10:34 ON 25 SEP 2006
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8
DICTIONARY FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
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on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\517,294-R1-STR-Olsen et al.str

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> S L1 SSS SAM
SAMPLE SEARCH INITIATED 13:11:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 17 ANSWERS
SEARCH TIME: 00.00.01

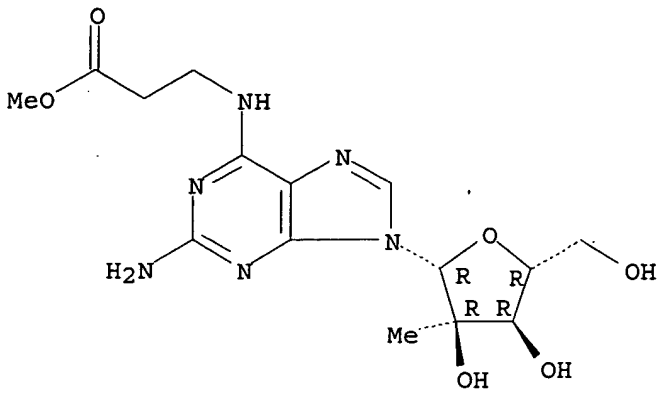
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 93 TO 587

L2 17 SEA SSS SAM L1

=> D SCAN

L2 17 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN β-Alanine, N-[2-amino-9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]-, methyl ester (9CI)
MF C15 H22 N6 O6

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):N

=> S L1 SSS FULL
FULL SEARCH INITIATED 13:11:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 557 TO ITERATE

100.0% PROCESSED 557 ITERATIONS 296 ANSWERS
SEARCH TIME: 00.00.01

L3 296 SEA SSS FUL L1

=> FILE CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.82	168.03

FILE 'CAPLUS' ENTERED AT 13:12:15 ON 25 SEP 2006
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Sep 2006 VOL 145 ISS 14
FILE LAST UPDATED: 24 Sep 2006 (20060924/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
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=> D HIS

(FILE 'HOME' ENTERED AT 13:10:16 ON 25 SEP 2006)

FILE 'REGISTRY' ENTERED AT 13:10:34 ON 25 SEP 2006
L1 STRUCTURE UPLOADED
L2 17 S L1 SSS SAM
L3 296 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:12:15 ON 25 SEP 2006

=> S L1
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 13:12:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 17 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 93 TO 587

L4 17 SEA SSS SAM L1

L5 20 L4

=> S L3
L6 98 L3

=> D L5 ed ibib abs hitstr 1-20

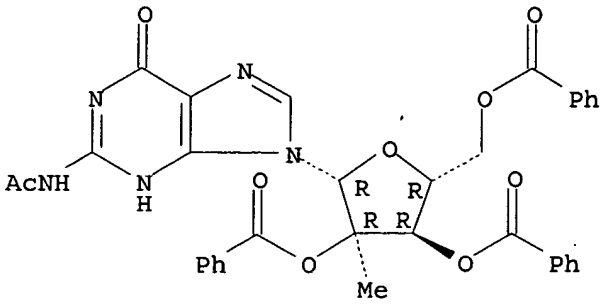
L5 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Apr 2006
ACCESSION NUMBER: 2006:357151 CAPLUS
DOCUMENT NUMBER: 145:46235
TITLE: Efficient Synthesis of 2'-C-β-Methylguanosine
AUTHOR(S): Li, Nan-Sheng; Piccirilli, Joseph A.
CORPORATE SOURCE: Howard Hughes Medical Institute, Department of Biochemistry Molecular Biology and Department of Chemistry, The University of Chicago, Chicago, IL, 60637, USA
SOURCE: Journal of Organic Chemistry (2006), 71(10), 4018-4020
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:46235
AB 2'-β-Me nucleosides have potential value as therapeutic agents and as nucleoside analogs for exploring RNA biol. Here we develop a strategy for efficient synthesis for 2'-C-β-methylguanosine (3). Starting from 1,2,3,5-tetra-O-benzoyl-2-C-β-methyl-D-ribofuranose (1) and N2-acetylguanine, we obtained the title compound in two steps (78% overall yield) with high stereoselectivity (β/α > 99:1) and high regioselectivity (N9/N7 > 99:1). Extension of this strategy to the classic synthesis of guanosine also resulted in high stereoselectivity (β/α = 99:1) and improved regioselectivity (N9/N7 = 97:3).
IT 890131-90-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2'-C-β-methylguanosine via stereoselective and regioselective coupling reaction of N2-acetylguanine with 2-C-β-methyl-D-ribofuranose)

RN 890131-90-5 CAPLUS

CN Guanosine, N-acetyl-2'-C-methyl-, 2',3',5'-tribenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Mar 2005
ACCESSION NUMBER: 2005:216597 CAPLUS
DOCUMENT NUMBER: 142:291323
TITLE: Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)
INVENTOR(S): Hardee, Greg; Dellamary, Luis
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020885	A2	20050310	WO 2004-US16196	20040521
WO 2005020885	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

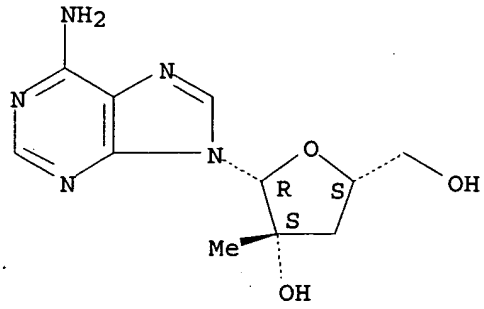
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-472774P P 20030521

AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

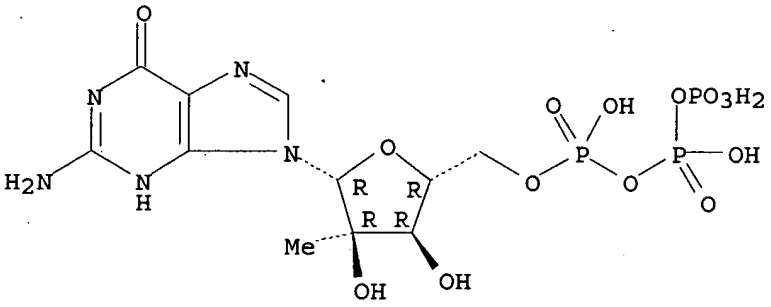
IT 109923-62-8 374750-29-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treatment of severe acute respiratory syndrome)
RN 109923-62-8 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374750-29-5 CAPLUS
CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Feb 2005
ACCESSION NUMBER: 2005:150037 CAPLUS
DOCUMENT NUMBER: 142:348134
TITLE: Synthesis, conformational analysis, and biological activity of new analogues of thiazole-4-carboxamide adenine dinucleotide (TAD) as IMP dehydrogenase inhibitors
AUTHOR(S): Franchetti, Palmarisa; Cappellacci, Loredana; Pasqualini, Michela; Petrelli, Riccardo; Jayaprakasan, Vetrichelvan; Jayaram, Hiremagalur N.; Boyd, Donald B.; Jain, Manojkumar D.; Grifantini, Mario
CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Universita di Camerino, Camerino, 62032, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(6), 2045-2053
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:348134

AB Thiazole-4-carboxamide adenine dinucleotide (TAD) analogs T-2'-MeAD (1) and T-3'-MeAD (2) containing, resp., a Me group at the ribose 2'-C-, and 3'-C-position of the adenosine moiety, were prepared as potential selective human inosine monophosphate dehydrogenase (IMPDH) type II inhibitors. The synthesis of heterodinucleotides was carried out by CDI-catalyzed coupling reaction of unprotected 2'-C-methyl- or 3'-C-methyl-AMP with 2',3'-O-isopropylidene-tiazofurin 5'-monophosphate, and then deisopropylidenation. Biol. evaluation of dinucleotides 1 and 2 as inhibitors of recombinant human IMPDH type I and type II resulted in a good activity. Inhibition of both isoenzymes by T-2'-MeAD and T-3'-MeAD was noncompetitive with respect to NAD substrate. Binding of T-3'-MeAD was comparable to that of parent compound TAD, while T-2'-MeAD proved to be a weaker inhibitor. However, no significant difference was found in inhibition of the IMPDH isoenzymes. T-2'-MeAD and T-3'-MeAD were found to inhibit the growth of K562 cells (IC50 30.7 and 65.0 μM, resp.).

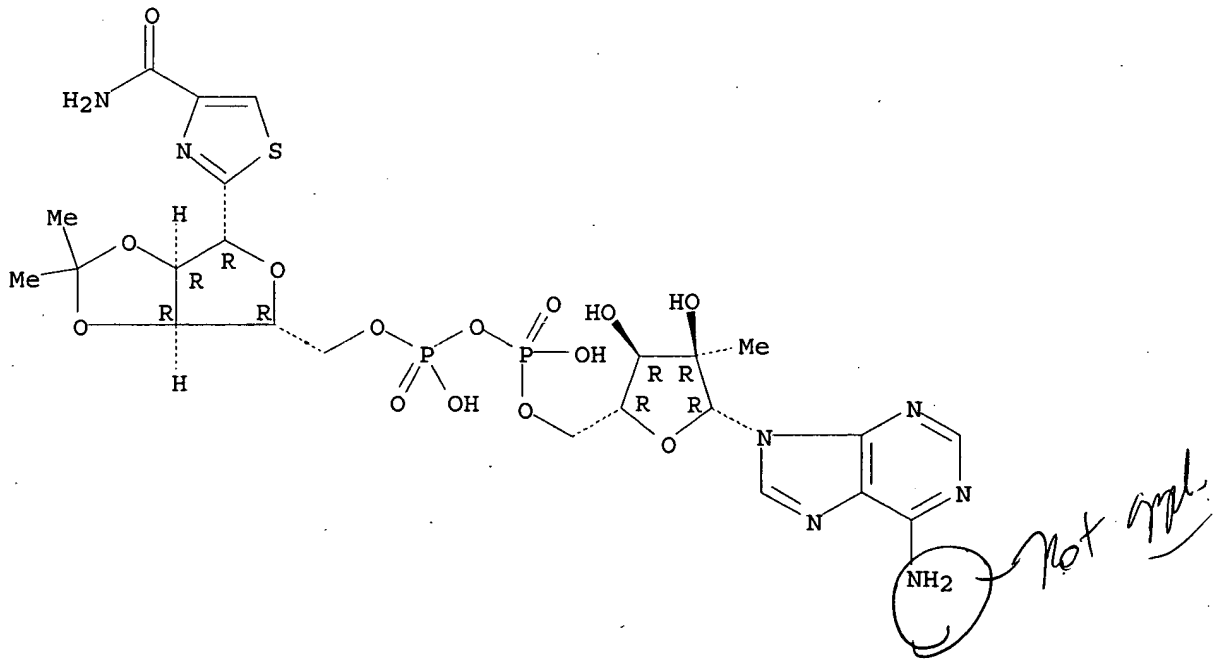
IT 867258-93-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, conformational anal., and biol. activity of new analogs of thiazole-4-carboxamide adenine dinucleotide (TAD) as IMP dehydrogenase inhibitors)

RN 867258-93-3 CAPLUS

CN Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl-, P'→5'-ester with 2-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]-4-thiazolecarboxamide, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



Not appl.

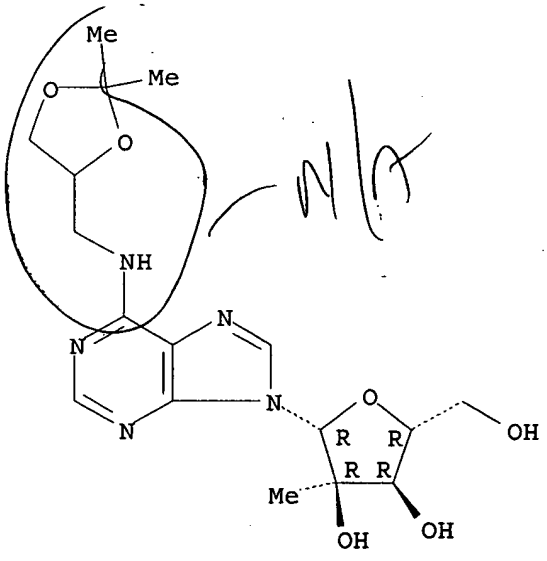
PAGE 2-A

●2 NH_3

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

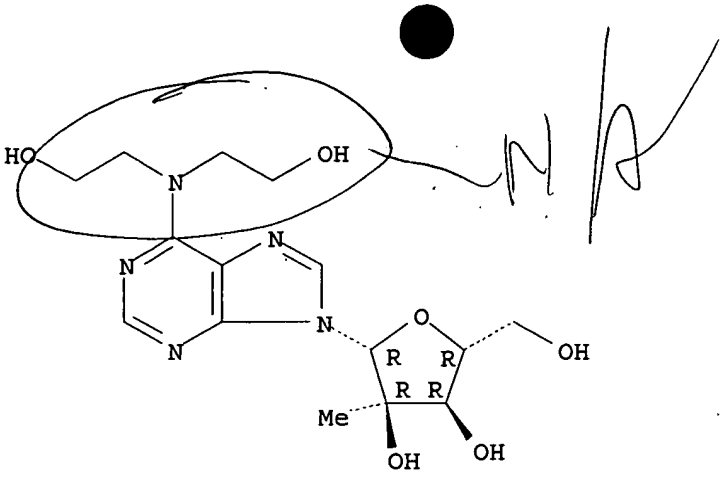
L5 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Jan 2005
ACCESSION NUMBER: 2005:74688 CAPLUS
DOCUMENT NUMBER: 142:336573
TITLE: Synthesis of 9-(2-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivatives as inhibitors of HCV RNA replication
AUTHOR(S): Ding, Yili; Girardet, Jean-Luc; Hong, Zhi; Lai, Vicky C. H.; An, Haoyun; Koh, Yung-hyo; Shaw, Stephanie Z.; Zhong, Weidong
CORPORATE SOURCE: Valeant Pharmaceuticals International, Costa Mesa, CA, 92626, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 709-713
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 9-(2'-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivs. were synthesized as potential inhibitors of HCV RNA replication. Their inhibitory activities in a cell based HCV replicon assay were reported. A prodrug approach was used to further improve the potency of these compds. by increasing the intracellular levels of 5'-monophosphate metabolites. These nucleotide prodrugs showed much improved inhibitory activities of HCV RNA replication.
IT 565435-07-6P 565435-09-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 9-(2-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivs. as inhibitors of HCV RNA replication)
RN 565435-07-6 CAPLUS
CN Adenosine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 565435-09-8 CAPLUS
CN Adenosine, N,N-bis(2-hydroxyethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

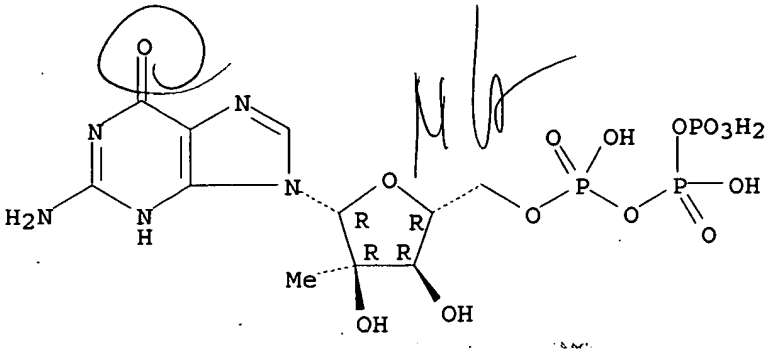
L5 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Oct 2004
ACCESSION NUMBER: 2004:848340 CAPLUS
DOCUMENT NUMBER: 142:226
TITLE: A 7-deaza-adenosine analog is a potent and selective inhibitor of hepatitis C virus replication with excellent pharmacokinetic properties
AUTHOR(S): Olsen, David B.; Eldrup, Anne B.; Bartholomew, Linda; Bhat, Balkrishen; Bosserman, Michele R.; Ceccacci, Alessandra; Colwell, Lawrence F.; Fay, John F.; Flores, Osvaldo A.; Getty, Krista L.; Grobler, Jay A.; LaFemina, Robert L.; Markel, Eric J.; Migliaccio, Giovanni; Prhavc, Marija; Stahlhut, Mark W.; Tomassini, Joanne E.; MacCoss, Malcolm; Hazuda, Daria J.; Carroll, Steven S.
CORPORATE SOURCE: Department of Biological Chemistry, Merck Research Laboratories, West Point, PA, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(10), 3944-3953
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Improved treatments for chronic hepatitis C virus (HCV) infection are needed due to the suboptimal response rates and deleterious side effects associated with current treatment options. The triphosphates of 2'-C-methyl-adenosine and 2'-C-methyl-guanosine were previously shown to be potent inhibitors of the HCV RNA-dependent RNA polymerase (RdRp) that is responsible for the replication of viral RNA in cells. Here we demonstrate that the inclusion of a 7-deaza modification in a series of purine nucleoside triphosphates results in an increase in inhibitory potency against the HCV RdRp and improved pharmacokinetic properties. Notably, incorporation of the 7-deaza modification into 2'-C-methyl-adenosine results in an inhibitor with a 20-fold-increased potency as the 5'-triphosphate in HCV RdRp assays while maintaining the inhibitory potency of the nucleoside in the bicistronic HCV replicon and with reduced cellular toxicity. In contrast, while 7-deaza-2'-C-methyl-GTP also displays enhanced inhibitory potency in enzyme assays, due to poor cellular penetration and/or metabolism, the nucleoside does not inhibit replication of a bicistronic HCV replicon in cell culture. 7-Deaza-2'-C-methyl-adenosine displays promising in vivo pharmacokinetics in three animal species, as well as an acute oral LD in excess of 2,000 mg/kg of body weight in mice. Taken together, these data demonstrate that 7-deaza-2'-C-methyl-adenosine is an attractive candidate for further investigation as a potential treatment for HCV infection.
IT 374750-29-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(a 7-deaza-adenosine analog is a potent and selective inhibitor of hepatitis C virus replication with excellent pharmacokinetic properties)

RN 374750-29-5 CAPLUS

CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 06 Aug 2004

ACCESSION NUMBER: 2004:633938 CAPLUS

DOCUMENT NUMBER: 141:157387

TITLE: Synthesis and use of 2'-substituted-N6-modified nucleosides as antiviral agents

INVENTOR(S): An, Haoyun; Ramasamy, Kanda; Shaw, Stephanie

PATENT ASSIGNEE(S): Ribapharm Inc., USA

SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

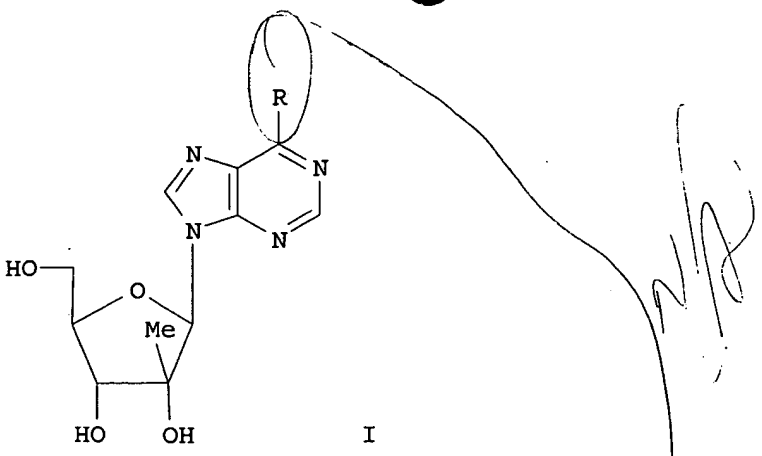
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065398	A2	20040805	WO 2004-US1125	20040115
WO 2004065398	A3	20050303		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
US 2006135465	A1	20060622	US 2006-542235	20060123

PRIORITY APPLN. INFO.:
US 2003-440666P P 20030115
WO 2004-US1125 W 20040115

OTHER SOURCE(S): CASREACT 141:157387; MARPAT 141:157387

GI



I

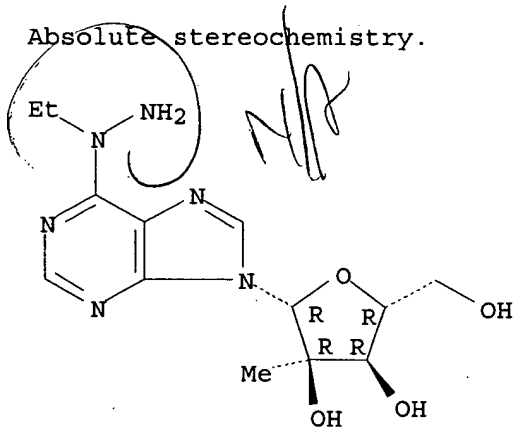
AB An improved method of preparing a sugar modified nucleoside analog I, wherein R is selected from the group consisting of NH2NH2, N(CH3)NH2, N(CH2CH3)NH2, N(CH3)OH, NHOH, NHOCH3, NHOCH2CH3, NHN(CH3)2, N(CH3)NHCH3, NHNHCH3, NHNHOCH3, and NHNHCOOCH3, includes a protocol in which a hydroxy group of a sugar is selectively deprotected and oxidized prior to nucleophilic modification of the corresponding carbonyl group. The modified sugar is then coupled to a heterocyclic base that is modified with a dual nucleophilic reagent in a further step that provides N6-modified adenosine analogs with high stereoselectivity. Contemplated antiviral and immunomodulatory activities of title nucleosides are reported (no data). Thus, I [R = N(Me)NH2] was prepared from 2-iodo-benzoic acid via stereoselective glycosylation with 6-chloropurine.

IT 728022-78-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and use of 2'-substituted-N6-modified nucleosides as antiviral agents via stereoselective glycosylation)

RN 728022-78-4 CAPLUS

CN 9H-Purine, 6-(1-ethylhydrazino)-9-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 11 Jan 2004

ACCESSION NUMBER: 2004:20801 CAPLUS

DOCUMENT NUMBER: 140:70987

TITLE: Nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

INVENTOR(S): Olsen, David B.; Maccoss, Malcolm; Bhat, Balkrishen; Eldrup, Anne B.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004003138	A2	20040108	WO 2003-US19776	20030623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488484	AA	20040108	CA 2003-2488484	20030623
AU 2003269892	A1	20040119	AU 2003-269892	20030623
EP 1572945	A2	20050914	EP 2003-751779	20030623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512288	T2	20060413	JP 2004-517749	20030623

PRIORITY APPLN. INFO.: US 2002-392438P P 20020627
WO 2003-US19776 W 20030623

OTHER SOURCE(S): MARPAT 140:70987

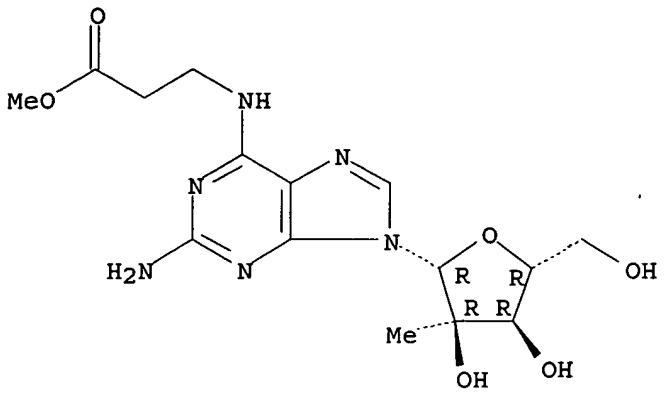
AB The invention provides nucleoside compds. and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the invention. Preparation of nucleoside derivs. is included.

IT 641571-39-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

RN 641571-39-3 CAPLUS

CN β-Alanine, N-[2-amino-9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

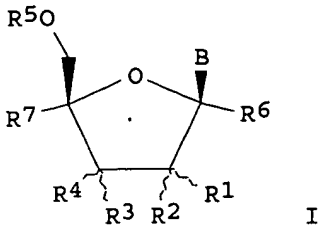


L5 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 02 Jan 2004
ACCESSION NUMBER: 2004:2898 CAPLUS
DOCUMENT NUMBER: 140:42424
TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
INVENTOR(S): Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000858	A2	20031231	WO 2003-US19172	20030617
WO 2004000858	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488534	AA	20031231	CA 2003-2488534	20030617
AU 2003269890	A1	20040106	AU 2003-269890	20030617
EP 1551421	A2	20050713	EP 2003-751777	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530843	T2	20051013	JP 2004-515870	20030617

PRIORITY APPLN. INFO.: US 2002-390579P P 20020621
WO 2003-US19172 W 20030617

OTHER SOURCE(S): MARPAT 140:42424
GI



I

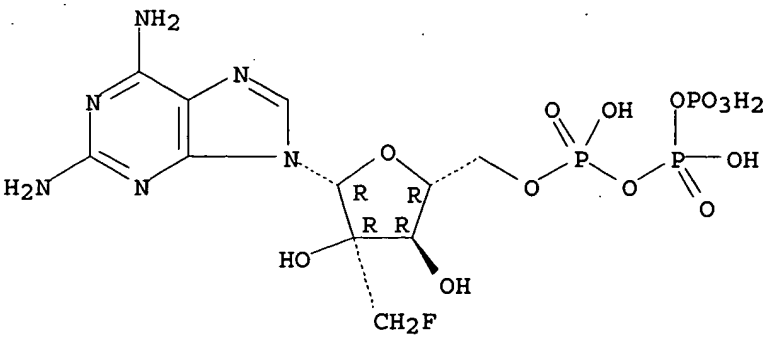
AB The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's less than 100 μmol.

IT 636581-99-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

RN 636581-99-2 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2-amino-2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Nov 2003
ACCESSION NUMBER: 2003:892793 CAPLUS
DOCUMENT NUMBER: 139:365176

TITLE: Preparation of nucleoside derivatives for treating hepatitis C virus infection

INVENTOR(S): Roberts, Christopher Don; Dyatkina, Natalia B.; Keicher, Jesse D.; Liehr, Sebastian Johannes Reinhard; Hanson, Eric Jason

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

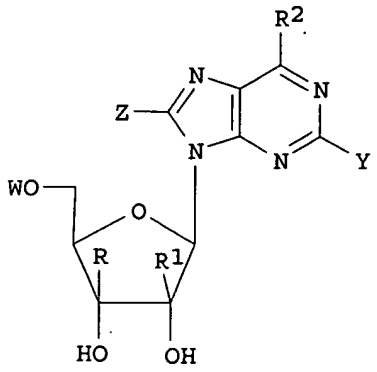
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093290	A2	20031113	WO 2003-US14237	20030506
WO 2003093290	A3	20040318		
WO 2003093290	C1	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484921	AA	20031113	CA 2003-2484921	20030506
AU 2003232071	A1	20031117	AU 2003-232071	20030506
US 2004063658	A1	20040401	US 2003-431631	20030506
EP 1501850	A2	20050202	EP 2003-747674	20030506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2003009581	A	20050329	BR 2003-9581	20030506
CN 1653077	A	20050810	CN 2003-810239	20030506
JP 2005530759	T2	20051013	JP 2004-501429	20030506
NO 2004005247	A	20041130	NO 2004-5247	20041130
PRIORITY APPLN. INFO.:			US 2002-378624P P	20020506
			US 2002-392871P P	20020628
			WO 2003-US14237 W	20030506

OTHER SOURCE(S): MARPAT 139:365176

GI



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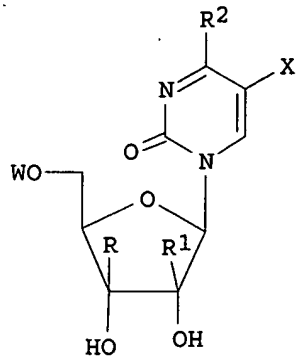

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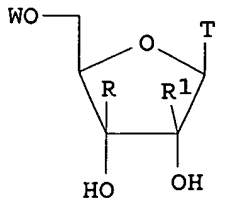


III

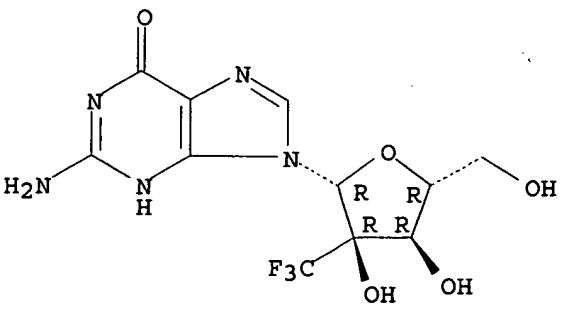
AB Nucleosides I-III, wherein R and R1 are independently H, alkyl, alkenyl, alkynyl, provided that R and R1 are not both H; R2 is alkyl, cycloalkyl, alkenyl, alkynyl, acylamino, guanidino, amidino, thioacylamino, OH, alkoxy, halo, nitro, aryl, heteroaryl, substituted amine; W is H, phosphate, phosphonate, acyl, alkyl, sulfonate, lipid, amino acid, sugar residue, peptide, cholesterol; X is H, halo, alkyl, substituted amine; Y is H, halo, OH, alkylthio, substituted amine; Z is H, halo, OH, alkyl, substituted amine; T is nucleobase, were prepared as HCV RNA polymerase inhibitors and for treating hepatitis C virus infections. Thus, 2-(4-amino-pyrrolo[3,2-c]pyridin-1-yl)-5-hydroxymethyl-3-methyltetrahydro-furan-3,4-diol was prepared for treating hepatitis C virus infections (no data). Different kind of formulation such as tablet, capsule, suspension, injectable, and suppository formulation are reported.

IT 622380-71-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. for treating hepatitis C virus infection)

RN 622380-71-6 CAPLUS

CN Guanosine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

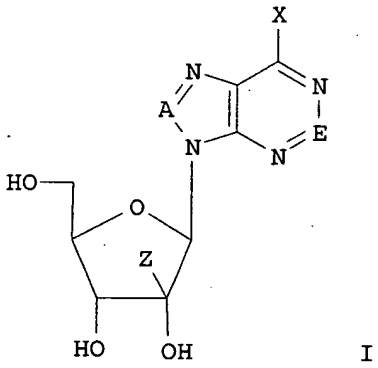
Absolute stereochemistry.



L5 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Aug 2003
ACCESSION NUMBER: 2003:591196 CAPLUS
DOCUMENT NUMBER: 139:133790
TITLE: Preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents
INVENTOR(S): An, Haoyun; Ding, Yili; Shaw, Stephanie; Hong, Zhi
PATENT ASSIGNEE(S): Ribapharm Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062256	A1	20030731	WO 2002-US34026	20021023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006183706	A1	20060817	US 2006-530627	20060227
PRIORITY APPLN. INFO.:			US 2002-350296P	P 20020117
			WO 2002-US34026	W 20021023

OTHER SOURCE(S): MARPAT 139:133790
GI



I

AB Various 2'-beta-methyl-6-substituted adenosine analogs I in which Z is selected from the group consisting of an alkyl, an O-alkyl, an alkenyl, an alkynyl, and CN, wherein the alkyl, the alkenyl, or the alkynyl is optionally substituted with a halogen or OH; A is CH or N, and E is C-R6 or N, such that (1) when A is CH then E is C-R6 or N, and (2) when A is N then E is CH; X is NR1R2, NR2NR3R4, NR2N=NR3, NR2N=CHR3, NR2N=O, NR2C(=O)NR3R4, NR2C(=S)NR3R4, NR2C(=NH)NR3R4, NR1C(=O)NR2NR3R4, NR2OR3, ONHC(O)O-alkyl, ONHC(O)O-aryl, ONR3R4, SNR1R2, SONR1R2, or S(O)2NR1R2; wherein R1-R4 are independently H, alkyl, substituted alkyl, O-alkyl, cyclic alkyl, heterocyclic alkyl, alkoxy, alkaryl, aryl, heterocyclic

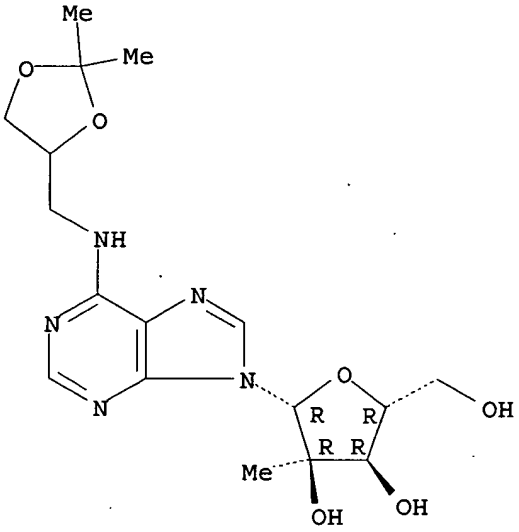
aryl, substituted aryl, acyl, substituted acyl, S(O)2-alkyl, NO, NH2, or OH; and R6 is H, NH2, halogen, N3, NHR1, NHCOR1 NR1R2, NHSO2R1, NHCONHR1, NHCSNHR1, CH2NHR1, CHR1NHR2, NHNH2, CN, alkyl, alkenyl, alkynyl, CH2-aryl, CH2-heterocycle, halogen, OH, or SH; are prepared by conventional and combinatorial library approaches. Contemplated compds. are particularly useful as therapeutic agents, and especially as antiviral agents. Thus, N6-[3-(methylthio)phenyl]-9H-(2'-β-C-methyl-β-D-ribofuranosyl)adenine was prepared and tested in vitro as antiviral agent against influenza virus A, bovine viral diarrhea virus, Hepatitis B virus, HIV-1 virus and human Rhinovirus.

IT 565435-07-6P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents)

RN 565435-07-6 CAPLUS

CN Adenosine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

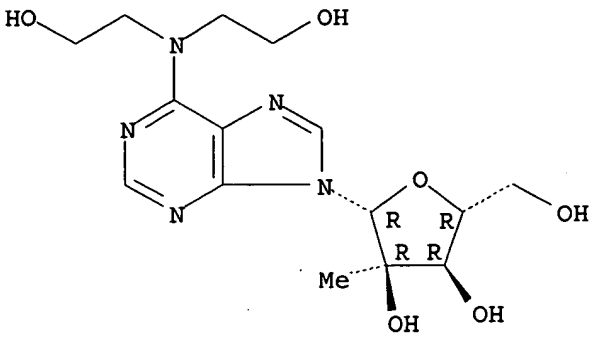


IT 565435-09-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents)

RN 565435-09-8 CAPLUS

CN Adenosine, N,N-bis(2-hydroxyethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Oct 2002
ACCESSION NUMBER: 2002:799278 CAPLUS
DOCUMENT NUMBER: 138:21277
TITLE: Synthesis of Nucleotide Analogues That Potently and Selectively Inhibit Human DNA Primase
AUTHOR(S): Moore, Chad L.; Chiaramonte, Molly; Higgins, Tamara; Kuchta, Robert D.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO, 80309, USA
SOURCE: Biochemistry (2002), 41(47), 14066-14075
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:21277

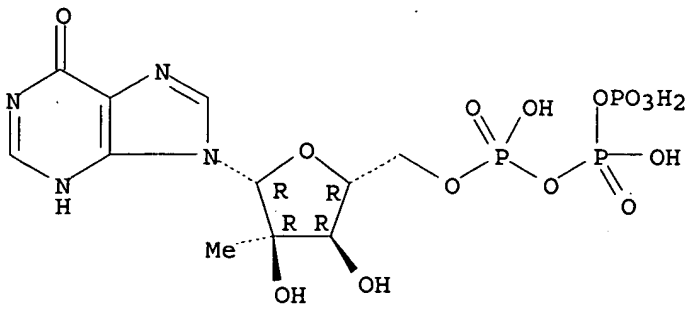
AB DNA primase synthesizes short RNA oligonucleotides that DNA polymerase α further elongates in order to initiate the synthesis of all new DNA strands during eukaryotic DNA replication. To develop potent and specific primase inhibitors, we combined 2'-modified sugars with bases incapable of normal Watson-Crick hydrogen bonding. The presence of a 2'-hydroxyl in either the ara or ribo configuration greatly enhances the ability of primase to polymerize a nucleotide. Further modifying the 2'-position by including both a hydroxyl and Me group at this position greatly reduced the ability of primase to polymerize the resulting nucleotides. Replacing the base of the NTP with analogs incapable of normal Watson-Crick hydrogen bonding (benzimidazole, nitrobenzimidazole, and dichlorobenzimidazole) resulted in compds. that inhibited primase quite well and with similar potency. We synthesized arabinofuranosylbenzimidazole triphosphate (araBTP) and found that this sugar change increased inhibition by 2-4-fold relative to the ribofuranosyl analog. AraBTP inhibited polymerization of both purines and pyrimidines, although primase polymerized only small amts. of the compound Interestingly, even though araBTP was not readily polymerized by primase, it inhibited primase almost as potently as araATP, a compound that primase polymerizes extremely rapidly and that results in very strong chain termination. Importantly, this compound was a very weak inhibitor of and only slowly polymerized by DNA polymerase α, indicating that it is a specific primase inhibitor. The potential utility and mechanistic implications of these inhibitors are discussed.

IT 478314-73-7P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of nucleotide analogs that potently and selectively inhibit human DNA primase but had minimal effect on DNA polymerase α activity)

RN 478314-73-7 CAPLUS

CN Inosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

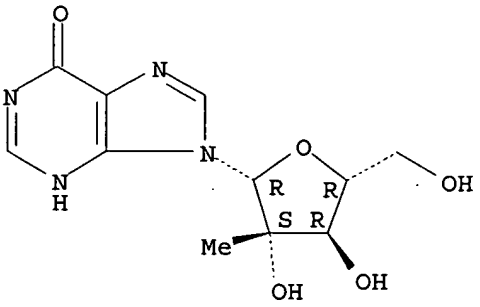


IT 495384-92-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of nucleotide analogs that potently and selectively inhibit human DNA primase but had minimal effect on DNA polymerase α activity)

RN 495384-92-4 CAPLUS

CN 6H-Purin-6-one, 1,9-dihydro-9-(2-C-methyl-β-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Jul 2002
ACCESSION NUMBER: 2002:555629 CAPLUS
DOCUMENT NUMBER: 137:125359
TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
INVENTOR(S): Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija; Prakash, Thazha P.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002057425	A2	20020725	WO 2002-US1531		20020118
WO 2002057425	A3	20050421			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
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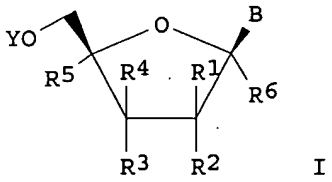
CA 2433878	AA	20020725	CA 2002-2433878		20020118
US 2002147160	A1	20021010	US 2002-52318		20020118
US 6777395	B2	20040817			
CN 1498221	A	20040519	CN 2002-806977		20020118
JP 2004532184	T2	20041021	JP 2002-558479		20020118
EP 1539188	A2	20050615	EP 2002-709095		20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2004072788	A1	20040415	US 2003-431657		20030507
ZA 2003005078	A	20040521	ZA 2003-5078		20030630
US 2004067901	A1	20040408	US 2003-688691		20031017
US 2004110717	A1	20040610	US 2004-250873		20040116
US 7105499	B2	20060912			
US 2005272676	A1	20051208	US 2005-200499		20050809
US 2006205686	A1	20060914	US 2005-236224		20050927
PRIORITY APPLN. INFO.:			US 2001-263313P	P	20010122
			US 2001-282069P	P	20010406
			US 2001-299320P	P	20010619
			US 2001-344528P	P	20011025
			US 2002-52318	A3	20020118
			WO 2002-US1531	W	20020118
			US 2003-431657	B1	20030507
			US 2003-688691	A1	20031017

OTHER SOURCE(S): MARPAT 137:125359
GI



I

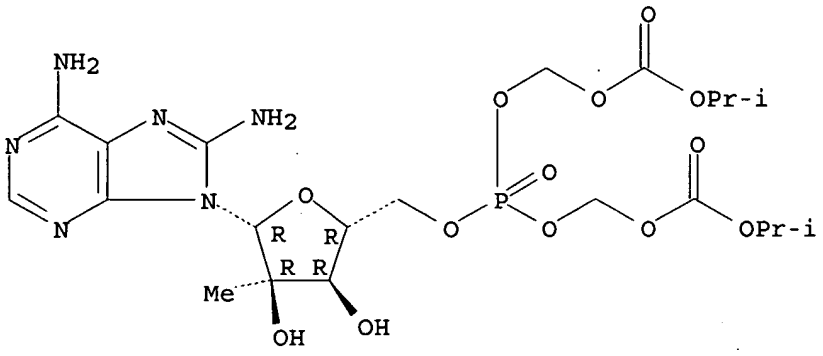
AB The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are

particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-β-D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μM. The compds. of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

IT 444020-88-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 444020-88-6 CAPLUS
CN 5'-Adenylic acid, 8-amino-2'-C-methyl-, bis[[[(1-methylethoxy)carbonyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 19 Feb 2002
ACCESSION NUMBER: 2002:127033 CAPLUS
DOCUMENT NUMBER: 136:386341
TITLE: 2'-Ethynyl-DNA: synthesis and pairing properties
AUTHOR(S): Buff, Rolf; Hunziker, Jurg
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Bern, Bern, CH-3012, Switz.
SOURCE: Helvetica Chimica Acta (2002), 85(1), 224-254
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:386341

AB 2-Ethynyl-DNA was developed as a potential DNA-selective oligonucleotide analog. The synthesis of 2'-arabino-ethynyl-modified nucleosides was achieved starting from properly protected 2'-ketonucleosides by addition of lithium (trimethylsilyl)acetylide followed by reduction of the tertiary alc. After a series of protecting-group manipulations, phosphoramidite building blocks suitable for solid-phase synthesis were obtained. The synthesis of oligonucleotides from these building blocks was successful when a fast

deprotection scheme was used. The pairing properties of 2'-arabino-ethynyl-modified oligonucleotides can be summarized as follows: The 2'-arabino-ethynyl modification of pyrimidine nucleosides leads to a strong destabilization in duplexes with DNA as well as with RNA. The likely reason is that the ethynyl group sterically influences the torsional preferences around the glycosidic bond leading to a conformation not suitable for duplex formation. If the modification is introduced in purine nucleosides, no such influence is observed The pairing properties are not or only slightly changed, and, in some cases (deoxyadenosine homo-polymers), the desired stabilization of the pairing with a DNA complementary strand and destabilization with an RNA complement is observed In oligonucleotides of alternating deoxycytidine-deoxyguanosine sequence, the incorporation of 2'-arabinoethynyl deoxyguanosine surprisingly leads to the formation of a left-handed double helix, irresp. of salt concentration The rationalization for this behavior is that the ethynyl group locks such duplexes in a left-handed conformation through steric blockade.

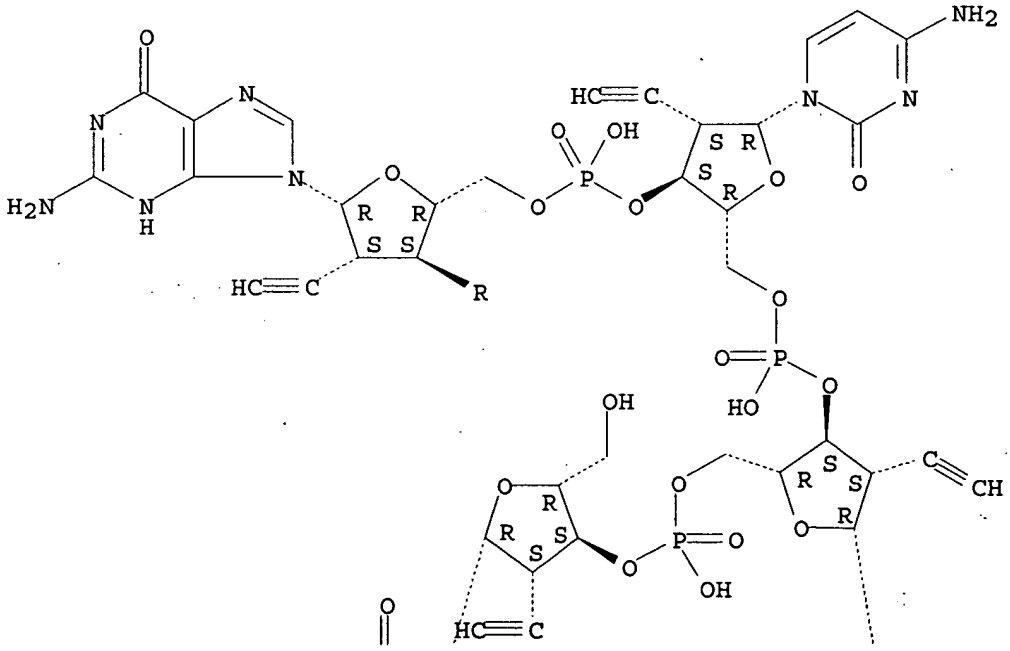
IT 424822-78-6P
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2'-Ethynyl-DNA to be used in the synthesis and pairing properties of DNA and RNA duplexes)

RN 424822-78-6 CAPLUS

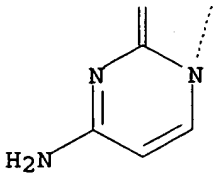
CN β-D-arabino-Guanosine, 2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-(3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-guanylyl-(3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-(3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-guanylyl-(3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-(3'→5')-2'-deoxy-2'-ethynyl- (9CI) (CA INDEX NAME)

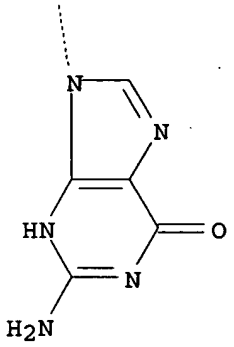
Absolute stereochemistry.

PAGE 1-A

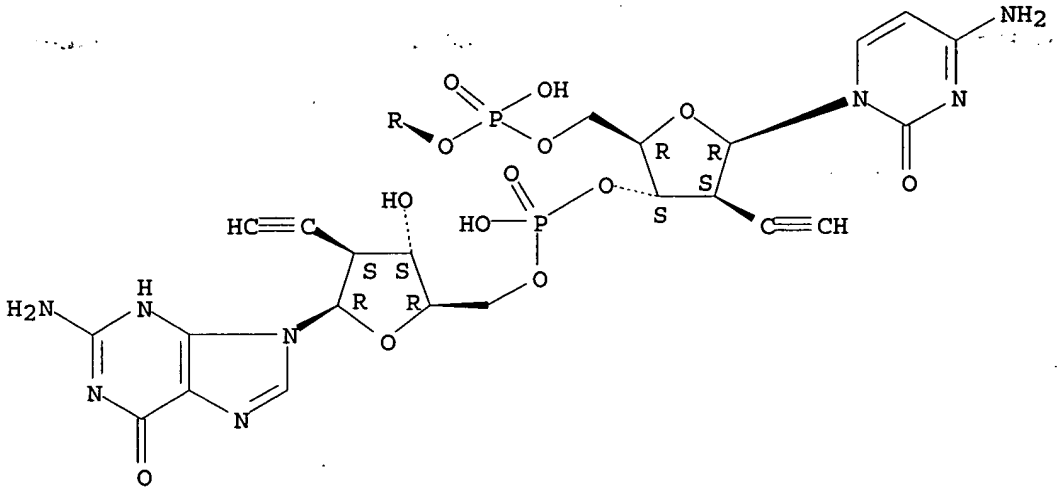


PAGE 2-A





PAGE 3-A



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Dec 2001
ACCESSION NUMBER: 2001:886155 CAPLUS
DOCUMENT NUMBER: 136:590
TITLE: Methods and compositions using modified nucleosides for treating flaviviruses and pestiviruses
INVENTOR(S): Sommadossi, Jean-Pierre; Lacolla, Paolo
PATENT ASSIGNEE(S): Novirio Pharmaceuticals Limited, Cayman I.; Universita Degli Studi Di Cagliari
SOURCE: PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092282	A2	20011206	WO 2001-US16687	20010523
WO 2001092282	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2410579	AA	20011206	CA 2001-2410579		20010523
EP 1294735	A2	20030326	EP 2001-952131		20010523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2003060400	A1	20030327	US 2001-863816		20010523
US 6812219	B2	20041102			
BR 2001011196	A	20040406	BR 2001-11196		20010523
JP 2004510698	T2	20040408	JP 2002-500895		20010523
NO 2002005600	A	20030117	NO 2002-5600		20021121
ZA 2002010112	A	20040623	ZA 2002-10112		20021212
US 2004063622	A1	20040401	US 2003-602693		20030620
US 2004097462	A1	20040520	US 2003-602692		20030620
US 7101861	B2	20060905			
US 2004102414	A1	20040527	US 2003-602694		20030620
US 7105493	B2	20060912			
US 2006166865	A1	20060727	US 2003-602135		20030620
PRIORITY APPLN. INFO.:			US 2000-207674P	P	20000526
			US 2001-283276P	P	20010411
			US 2001-863816	A3	20010523
			WO 2001-US16687	W	20010523

OTHER SOURCE(S): MARPAT 136:590

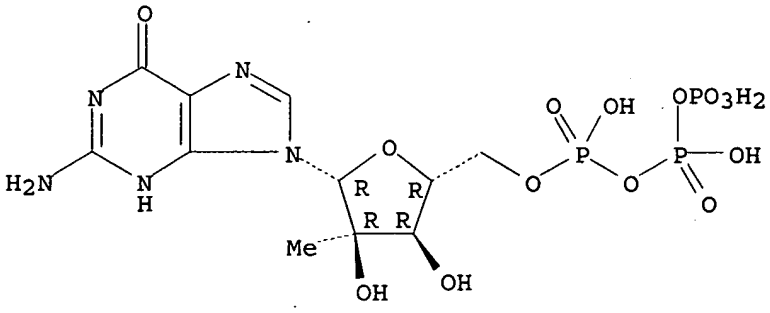
AB A method and composition are provided for treating a host infected with flavivirus or pestivirus, comprising administering an effective amount of a 1', 2' or 3'-modified nucleoside or a pharmaceutically acceptable salt or prodrug thereof.

IT 374750-29-5
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)
(nucleoside derivs. for treating flaviviruses and pestiviruses)

RN 374750-29-5 CAPLUS

CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



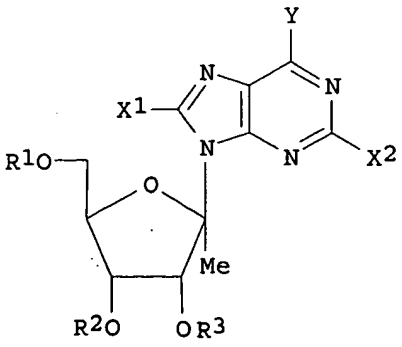
L5 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Nov 2001
ACCESSION NUMBER: 2001:868467 CAPLUS
DOCUMENT NUMBER: 136:6296
TITLE: Preparation of antiviral nucleosides and methods for treating hepatitis C virus
INVENTOR(S): Sommadossi, Jean-Pierre; Lacolla, Paulo
PATENT ASSIGNEE(S): Novirio Pharmaceuticals Limited, Cayman I.; Universita

degli Studi di Cagliari
SOURCE: PCT Int. Appl., 296 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090121	A2	20011129	WO 2001-US16671	20010523
WO 2001090121	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2409613	AA	20011129	CA 2001-2409613	20010523
AU 2001074906	A5	20011203	AU 2001-74906	20010523
US 2003050229	A1	20030313	US 2001-864078	20010523
US 6914054	B2	20050705		
EP 1292603	A2	20030319	EP 2001-941564	20010523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011127	A	20030624	BR 2001-11127	20010523
JP 2004533401	T2	20041104	JP 2001-586308	20010523
NZ 522863	A	20050729	NZ 2001-522863	20010523
EP 1669364	A2	20060614	EP 2006-75216	20010523
EP 1669364	A3	20060913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY, TR				
NO 2002005627	A	20030106	NO 2002-5627	20021122
ZA 2002010101	A	20040614	ZA 2002-10101	20021212
US 2004097461	A1	20040520	US 2003-602691	20030620
US 2004101535	A1	20040527	US 2003-602976	20030620
US 2005124532	A1	20050609	US 2003-602142	20030620
US 2005137161	A1	20050623	US 2003-602136	20030620
AU 2006203121	A1	20060810	AU 2006-203121	20060721
AU 2006203122	A1	20060810	AU 2006-203122	20060721

PRIORITY APPLN. INFO.:	US 2000-206585P	P	20000523
	AU 2001-74906	A3	20010523
	EP 2001-941564	A3	20010523
	US 2001-864078	A1	20010523
	WO 2001-US16671	W	20010523

OTHER SOURCE(S): MARPAT 136:6296
GI



I

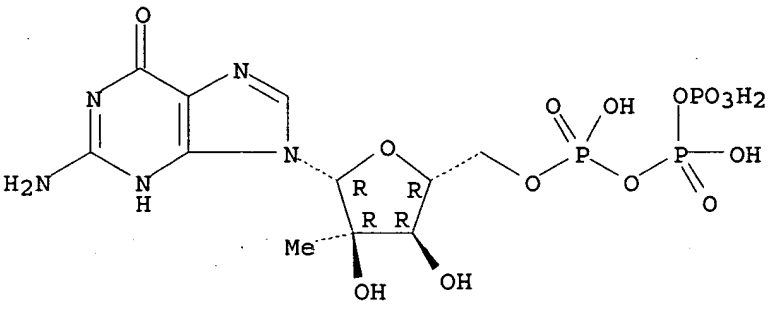
AB A method and composition for treating a host infected with hepatitis C comprising administering an effective hepatitis C treatment amount of a described 1'-, 2'- or 3'-modified nucleosides I, wherein : R1-R3 and R are independently H, phosphate (including mono, di- or triphosphate and a stabilized phosphate prodrug); acyl; alkyl; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl and benzyl, wherein the Ph group is optionally substituted with one or more substituents as described in the definition of aryl given herein; a lipid, including a phospholipid; an amino acid; a carbohydrate; a peptide; a cholesterol; or other pharmaceutically acceptable leaving group which when administered in vivo is capable of providing a compound wherein R1-R3 are independently H or phosphate; Y is hydrogen, bromo, chloro, fluoro, iodo, OR4, NR4R5 or SR4; X1 and X2 are independently selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, chloro, bromo, fluoro, iodo, OR4, NR4R5 or SR4; and R4 and R5 are independently hydrogen, acyl, alkyl.or a pharmaceutically acceptable salt or prodrug thereof, is provided. Thus, I (R1-R3 = X1 = X2 = H, Y = NH2) was prepared and tested in Cynomolgus monkeys as antiviral agent. Oral bioavailability in monkeys, bone human bone marrow toxicity (IC50 > 10 μM), and mitochondrial toxicity, were reported .

IT 374750-29-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral nucleosides and methods for treating hepatitis C virus)

RN 374750-29-5 CAPLUS

CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 06 Apr 2001
ACCESSION NUMBER: 2001:247542 CAPLUS
DOCUMENT NUMBER: 134:292059
TITLE: Human RNase H and oligonucleotide compositions as substrates and for antisense therapy
INVENTOR(S): Crooke, Stanley T.; Lima, Walter F.; Wu, Hongjiang; Manoharan, Muthiah
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023613	A1	20010405	WO 2000-US26729	20000929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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US 6617442	B1	20030909	US 1999-409926	19990930
EP 1222309	A1	20020717	EP 2000-965513	20000929
EP 1222309	B1	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 312202	E	20051215	AT 2000-965513	20000929
US 2004102618	A1	20040527	US 2003-616009	20030708
PRIORITY APPLN. INFO.:			US 1999-409926	A1 19990930
			WO 2000-US26729	W 20000929

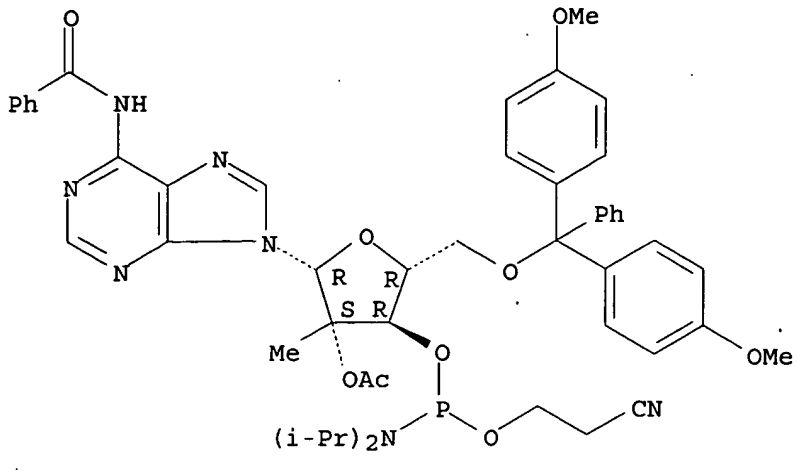
AB A human Type 2 RNase H has been cloned, expressed, and purified to electrophoretic homogeneity. The human RNase H is expressed ubiquitously in all tissues and cell lines tested except the MCR-5 line. The enzyme cleaves RNA in an oligonucleotide/RNA duplex, and the sites of cleavage in the full RNA/DNA substrate and in gapmer/RNA duplexes (in which the oligonucleotide gapmer has a 5-deoxynucleotide gap) were determined The present invention provides oligonucleotides that can serve as substrates for human Type 2 RNase H and Escherichia coli RNase H1. These oligonucleotides are mixed sequence oligonucleotides comprising at least two portions, wherein a first portion is capable of supporting human RNase H1 cleavage of a complementary target RNA and a further portions which is not capable of supporting such cleavage. To better characterize the substrate specificity of human RNase H, duplexes in which the antisense oligonucleotide is modified in the 2'-position were synthesized. The present invention is also directed to methods of using these oligonucleotides in enhancing antisense oligonucleotide therapies. Oligonucleotides can be screened to identify those which are effective antisense agents by contacting human RNase H with an oligonucleotide and measuring binding of the oligonucleotide to the enzyme. Antisense oligonucleotides are identified specific for the cleavage and inhibition of expression of ICAM-1, Ha-ras, c-raf, and 5-lipoxygenase messages.

IT 333336-27-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(human RNase H and oligonucleotide compns. as substrates and for antisense therapy)

RN 333336-27-9 CAPLUS

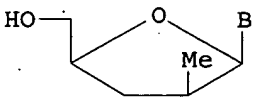
CN Benzamide, N-[9-[2-O-acetyl-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-C-methyl-β-D-arabinofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Apr 1994
ACCESSION NUMBER: 1994:192164 CAPLUS
DOCUMENT NUMBER: 120:192164
TITLE: Nucleosides and nucleotides. 120. Stereoselective radical deoxygenation of tert-alcohols in the sugar moiety of nucleosides: synthesis of 2',3'-dideoxy-2'-C-methyl- and -2'-C-ethynyl-β-D-threo-pentofuranosyl pyrimidines and adenine as potential antiviral and antitumor agents
AUTHOR(S): Kakefuda, Akio; Yoshimura, Yuichi; Sasaki, Takuma; Matsuda, Akira
CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: Tetrahedron (1993), 49(38), 8513-28
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:192164
GI

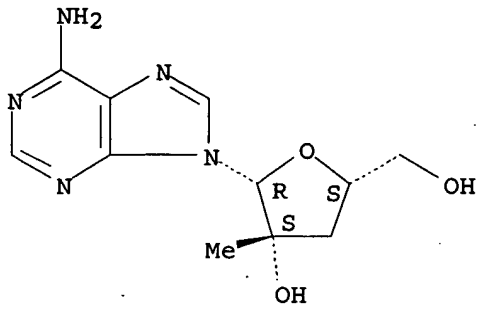


I

AB Radical deoxygenation of 2'-O-methoxalyl ester of the corresponding 3'-deoxy-2'-C-methyl-β-D-threso-pentofuranosyl-pyrimidines and -adenine, which were readily obtd. from the reaction of 1-(3-deoxy-β-D-erythro-pentofuran-2-ulosyl)pyrimidines and adenine derivs. with MeMgBr, gave stereospecifically after deprotection the corresponding nucleosides, e.g. I (B = uracil, thymine, cytosine, adenine). Cytotoxicity, antitumor and anti-HIV activities of these nucleosides in vitro were described.

IT 109923-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 109923-62-8 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 17 Feb 1989
ACCESSION NUMBER: 1989:57989 CAPLUS
DOCUMENT NUMBER: 110:57989
TITLE: The synthesis of C-methyl branched-chain deoxy sugar nucleosides by the deoxygenative methylation of O-tosylated adenosines with Grignard reagents
AUTHOR(S): Kawana, Masajiro; Takeuchi, Kikuko; Ohba, Takayo; Kuzuhara, Hiroyoshi
CORPORATE SOURCE: Inst. Phys. Chem. Res., RIKEN, Wako, 351-01, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1988), 61(7), 2437-42
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:57989
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

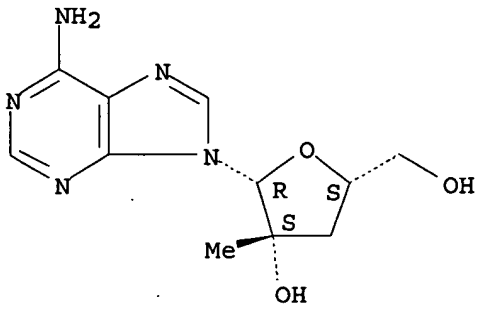
AB Title 3'-C-Me nucleoside I was prepared from 2'-O-tosyladenosines II [Ts = tosyl; R1 = H, 4,4'-dimethoxytrityl (DMTr), R2 = DMTr; R1 = trityl, R2 = H] by treatment with MeMgBr or MeMgI, followed by deblocking. 3'-O-Tosyladenosines III (R1 = H, DMTr; R2 = DMTr) were treated with MeMgBr or MeMgI and then deblocked to give epimeric mixts. of 2'-C-Me nucleosides IV and V.

IT 109923-62-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methanolysis of)

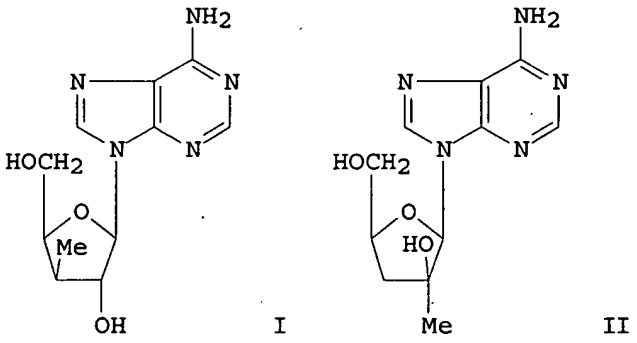
RN 109923-62-8 CAPLUS

CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Jun 1988
ACCESSION NUMBER: 1988:204976 CAPLUS
DOCUMENT NUMBER: 108:204976
TITLE: Conformational studies of 3'-C-methyl and 2'-C-methyl analogs of cordycepin
AUTHOR(S): Koole, L. H.; Buck, H. M.; Bazin, H.; Chattopadhyaya, J.
CORPORATE SOURCE: Dep. Org. Chem., Eindhoven Univ. Technol., Eindhoven, 5600 MB, Neth.
SOURCE: Tetrahedron (1987), 43(13), 2989-97
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:204976
GI

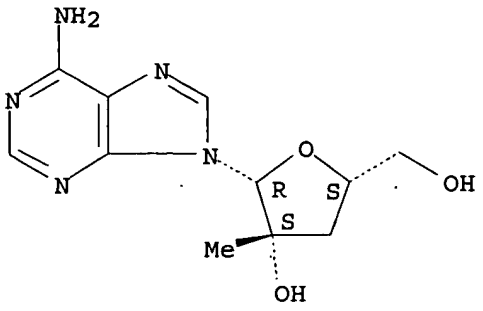


I II

AB A high resolution 1H NMR conformational anal. study of a 3'-C-Me (I) and a 2'-C-Me (II) analog of cordycepin, a naturally occurring antibiotic, was performed. For I the Me group on C-3', leads to an entirely different mol. conformation, which is determined primarily by a strong intramol. hydrogen bond between O-5' and N-3 of the syn-oriented adenine base. This particular conformation results in very unusual broadening of the H-5'' resonances in the case of CDCl3 as solvent. The synthesis of II via a regiospecific Grignard-type reaction is described. Conformational anal. of II revealed that the Me group on C-2' shifts the conformational equilibrium of the furanose ring towards south form.

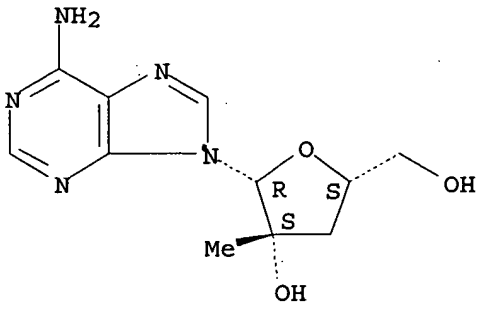
IT 109923-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR conformational anal. of)
RN 109923-62-8 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 19 Sep 1987
ACCESSION NUMBER: 1987:497040 CAPLUS
DOCUMENT NUMBER: 107:97040
TITLE: The deoxygenations of tosylated adenosine derivatives with Grignard reagents
AUTHOR(S): Kawana, Masajiro; Takeuchi, Kikuko; Ohba, Takayo; Kuzuhara, Hiroyoshi
CORPORATE SOURCE: Riken, Saitama, 351-01, Japan
SOURCE: Nucleic Acids Symposium Series (1986), 17(Symp. Nucleic Acids Chem., 14th, 1986), 37-40
CODEN: NACSD8; ISSN: 0261-3166
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:97040
AB The reactions of 2'-O- or 3'-O-tosylated adenosines with Grignard reagents resulted in the formation of various products, which were deoxy or branched-chain deoxy sugar nucleosides, 1',2'-unsatd. nucleosides, 3'-deoxy-2'-keto sugar nucleosides, and so on. The convenient method for the synthesis of the 3'-deoxy-2'-keto adenine nucleoside is described.
IT 109923-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 109923-62-8 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/517,294

10/517,295

2'-CH_2F | Purines & Pyrimidines

2'-CHF_2

2'-CF_3

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NEWS 5	MAY 11	KOREAPAT updates resume
NEWS 6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS 13	JUl 14	FSTA enhanced with Japanese patents
NEWS 14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS 15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 19	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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                                                    ENTRY    SESSION
FULL ESTIMATED COST                                  0.21       0.21

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DICTIONARY FILE UPDATES:  24 SEP 2006  HIGHEST RN 908332-13-8

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=>
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L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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=> s l1 sss sam
SAMPLE SEARCH INITIATED 13:42:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        8 TO ITERATE

100.0% PROCESSED        8 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

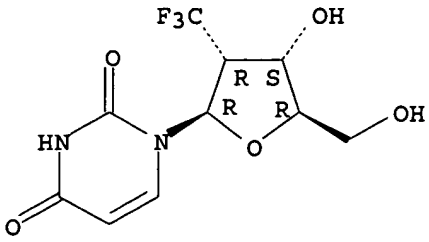
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            8 TO      329
PROJECTED ANSWERS:               2 TO      124

L2            2 SEA SSS SAM L1

=> d scan

L2   2 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN   Uridine, 2'-deoxy-2'-(trifluoromethyl)- (9CI)
MF   C10 H11 F3 N2 O5

Absolute stereochemistry.  Rotation (-).
```

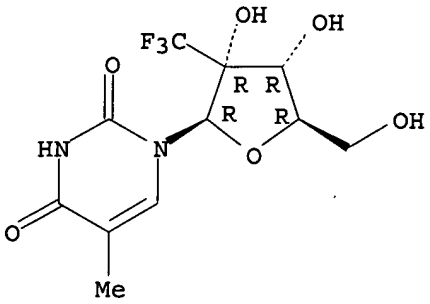


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Uridine, 5-methyl-2'-C-(trifluoromethyl)- (9CI)
MF C11 H13 F3 N2 O6

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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FULL SEARCH INITIATED 13:43:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 117 TO ITERATE

100.0% PROCESSED 117 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L3 20 SEA SSS FUL L1

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FILE LAST UPDATED: 24 Sep 2006 (20060924/ED)

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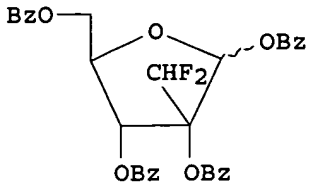
FILE 'REGISTRY' ENTERED AT 13:41:38 ON 25 SEP 2006
L1 STRUCTURE UPLOADED
L2 2 S L1 SSS SAM
L3 20 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:43:24 ON 25 SEP 2006

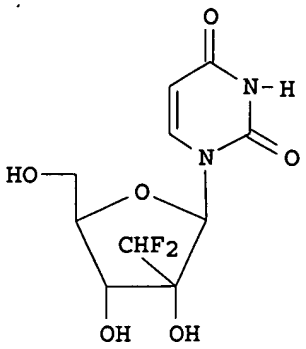
=> s l3
L4 12 L3

=> d l4 ed ibib abs hitstr 1-12

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 Aug 2005
ACCESSION NUMBER: 2005:921262 CAPLUS
DOCUMENT NUMBER: 143:422567
TITLE: Synthesis of 2'-C-Difluoromethylribonucleosides and Their Enzymic Incorporation into Oligonucleotides
AUTHOR(S): Ye, Jing-Dong; Liao, Xiangmin; Piccirilli, Joseph A.
CORPORATE SOURCE: Howard Hughes Medical Institute, Departments of Biochemistry & Molecular Biology and Chemistry, University of Chicago, Chicago, IL, 60637, USA
SOURCE: Journal of Organic Chemistry (2005), 70(20), 7902-7910
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



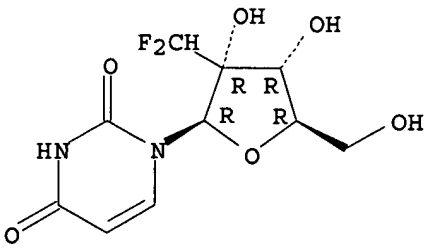
II

AB Nucleosides bearing a branched ribose have significant promise as therapeutic agents and bio-technol. and biochem. tools. Here we describe synthetic entry into a new subclass of these analogs, 2'-C-β-difluoromethylribonucleosides. We constructed the glycosylating agent I in three steps from 1,3,5-tri-O-benzoyl-α-D-ribofuranose. The key steps included nucleophilic addition of difluoromethyl Ph sulfone to 2-keto-ribose followed by mild and efficient reductive de-sulfonation. Ribofuranose I glycosylated bis(trimethylsilyl)uracil directly, giving difluoromethyluridine II efficiently after deprotection. Conversion of I to the corresponding ribofuranosyl bromide allowed efficient access to C, A, and G analogs. A related approach starting from Me D-ribofuranose offered synthetic entry into the diastereomeric manifold, 2'-C-α-difluoromethyl-arabino-α-pyrimidine. To incorporate 2'-C-β-difluoromethyluridine into an oligodeoxyribonucleotide we converted II to the bis-phosphate and carried out successive ligation reactions using T4 RNA ligase and T4 DNA ligase. Analogous to natural RNA linkages, the resulting oligonucleotide undergoes hydroxide-catalyzed backbone scission at the difluoromethyluridine residue via internal trans-phosphorylation.

IT 867287-43-2P 867287-57-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of difluoromethylribonucleosides and their enzymic incorporation into oligonucleotides)

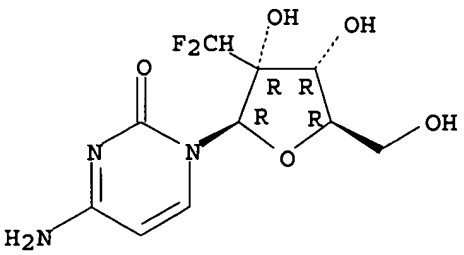
RN 867287-43-2 CAPLUS
CN Uridine, 2'-C-(difluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



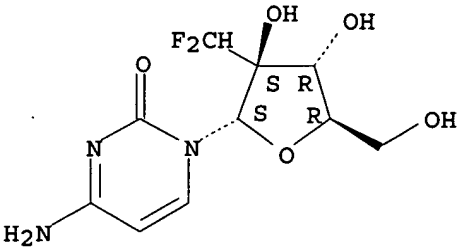
RN 867287-57-8 CAPLUS
CN Cytidine, 2'-C-(difluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



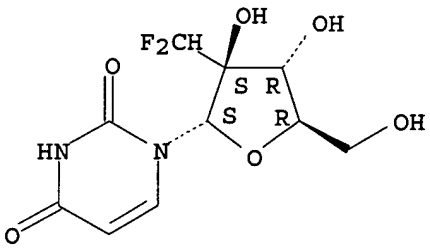
IT 867287-79-4P 867287-80-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of difluoromethylribonucleosides and their enzymic incorporation into oligonucleotides)
RN 867287-79-4 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[2-C-(difluoromethyl)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 867287-80-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-C-(difluoromethyl)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

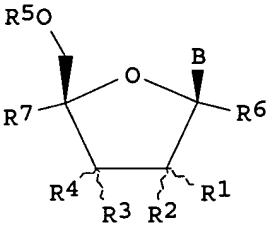
L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 02 Jan 2004
ACCESSION NUMBER: 2004:2898 CAPLUS
DOCUMENT NUMBER: 140:42424
TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
INVENTOR(S): Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000858	A2	20031231	WO 2003-US19172	20030617
WO 2004000858	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488534	AA	20031231	CA 2003-2488534	20030617
AU 2003269890	A1	20040106	AU 2003-269890	20030617
EP 1551421	A2	20050713	EP 2003-751777	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530843	T2	20051013	JP 2004-515870	20030617

PRIORITY APPLN. INFO.:
US 2002-390579P P 20020621
WO 2003-US19172 W 20030617

OTHER SOURCE(S): MARPAT 140:42424
GI



I

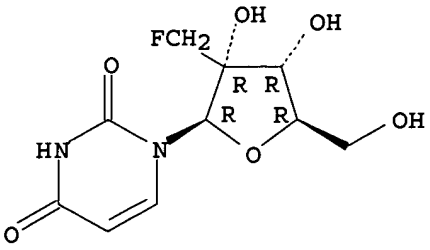
AB The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's

less than 100 μmol.

IT 510765-51-2P 636581-91-4P 636581-92-5P
636581-93-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

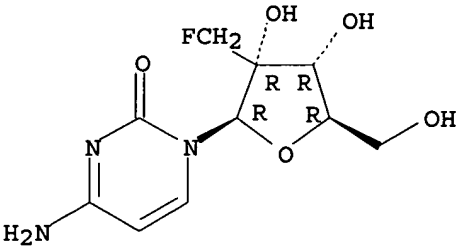
RN 510765-51-2 CAPLUS
CN Uridine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



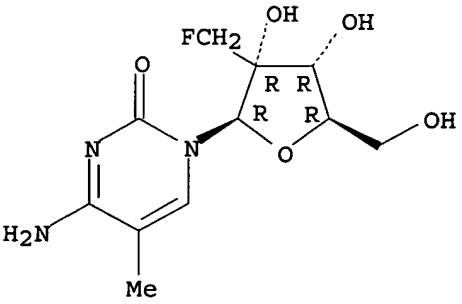
RN 636581-91-4 CAPLUS
CN Cytidine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



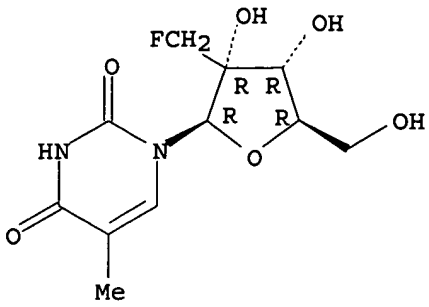
RN 636581-92-5 CAPLUS
CN Cytidine, 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636581-93-6 CAPLUS
CN Uridine, 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



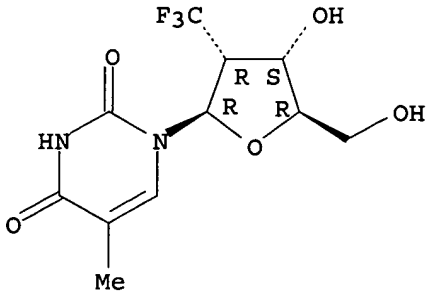
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 Jun 2003
ACCESSION NUMBER: 2003:491895 CAPLUS
DOCUMENT NUMBER: 139:323734
TITLE: Synthesis and antiviral evaluation of 2'-deoxy-2'-C-trifluoromethyl β-D-ribonucleoside analogues bearing the five naturally occurring nucleic acid bases
AUTHOR(S): Jeannot, Frederic; Gosselin, Gilles; Mathe, Christophe
CORPORATE SOURCE: Laboratoire de Chimie Organique Biomoleculaire de Synthese, UMR 5625 CNRS-Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Organic & Biomolecular Chemistry (2003), 1(12), 2096-2102
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:323734

AB 2'-Deoxy-2'-C-trifluoromethyl-β-D-ribonucleoside derivs. bearing the five naturally occurring acid bases have been synthesized. All these derivs. were prepared by glycosylation reactions of purine and pyrimidine bases with a suitable peracylated 2-deoxy-2-C-trifluoromethyl sugar precursor to afford anomeric mixts. of protected nucleosides. After separation and deprotection, the resulting β-nucleoside analogs were tested for their activity against HIV, HBV and several RNA viruses. However, none of these compds. showed significant antiviral activity nor cytotoxicity.

IT 159312-37-5P 614735-32-9P 614735-33-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral evaluation of deoxy-C-trifluoromethyl-β-D-ribonucleoside analogs bearing the five naturally occurring nucleic acid bases)

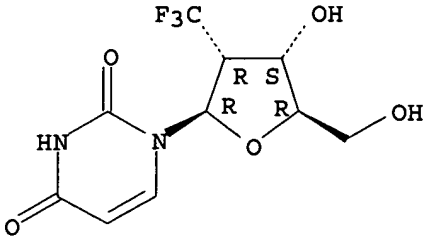
RN 159312-37-5 CAPLUS
CN Uridine, 2'-deoxy-5-methyl-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



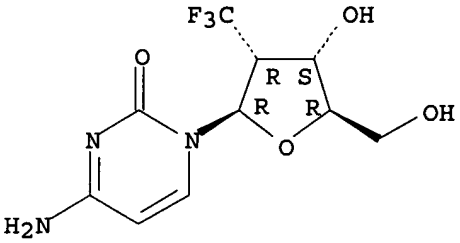
RN 614735-32-9 CAPLUS
CN Uridine, 2'-deoxy-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 614735-33-0 CAPLUS
CN Cytidine, 2'-deoxy-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

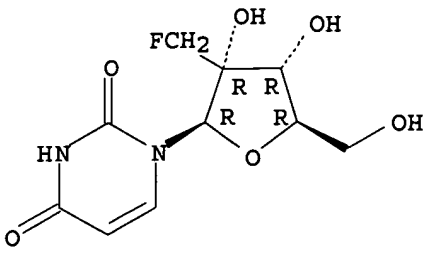
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Feb 2003
ACCESSION NUMBER: 2003:114368 CAPLUS
DOCUMENT NUMBER: 138:304462
TITLE: Synthesis of 2'-C-β-Fluoromethyluridine
AUTHOR(S): Dai, Qing; Piccirilli, Joseph A.
CORPORATE SOURCE: Howard Hughes Medical Institute, Department of Biochemistry & Molecular Biology, Department of Chemistry, The University of Chicago, Chicago, IL, 60637, USA
SOURCE: Organic Letters (2003), 5(6), 807-810
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:304462

AB 2'-C-β-Fluoromethyluridine represents both a potentially important biol. agent and a tool for biochem. anal. Here the authors describe the first synthesis of this compound starting from uridine. The key steps include protection of the uracil base with methoxyethoxymethyl (MEM) chloride, conversion to the corresponding 2'-C-α-epoxide, and regioselective opening of the oxirane ring with potassium fluoride/hydrogen fluoride. Subsequent acetylation of the 3'- and 5'-hydroxyl groups enables MEM removal using B-bromocatecholborane. Deacetylation generates the parent nucleoside, 2'-C-β-fluoromethyluridine.

IT 510765-51-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of C-β-fluoromethyluridine from uridine via uracil

protection with MEM, epoxidn. and regioselective ring opening)
RN 510765-51-2 CAPLUS
CN Uridine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

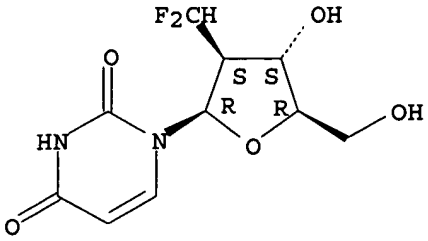
Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

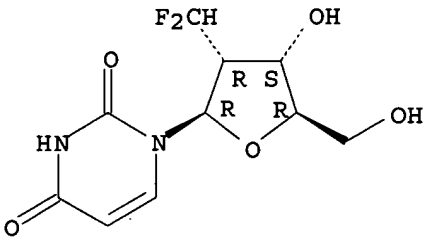
L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 May 2002
ACCESSION NUMBER: 2002:323128 CAPLUS
DOCUMENT NUMBER: 137:140718
TITLE: New method for the preparation of 3'- and 2'-O-phosphoramidites of 2'- and 3'-difluoromethyluridine derivatives
AUTHOR(S): Serafinowski, Pawel J.; Brown, Catherine A.
CORPORATE SOURCE: CRC Centre for Cancer Therapeutics at the Institute of Cancer Research, Surrey, SM2 5NG, UK
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2002), 21(1), 1-13
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:140718
AB Hydrogenation of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityluridine, gave the corresponding 2'- and 3'-difluoromethyluridine derivs (I). Detritylation of I resulted in the formation of 1-(2-deoxy-2-C-difluoromethyl-β-D-arabino-pentofuranosyl)uracil and 1-(3-deoxy-3-C-difluoromethyl-β-D-xylo-pentofuranosyl)- uracil as well as corresponding minor ribo- isomers. 1-(2-Deoxy-2-C-difluoromethyl-β-D-arabino-pentofuranosyl)uracil and its ribo- isomer were also obtained from 2'-deoxy-2'-difluoromethylene-3',5'-O-(tetraisopropyldisiloxane-1,3-diyl)uridine. Finally, phosphitylation of deoxy-difluoromethyl-dimethyoxy-trityl-pentofuranosyl uracil provided the title 2'- and 3'-O-phosphoramidites.
IT 349654-62-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3'- and 2'-O-phosphoramidites of 2'- and 3'-difluoromethyluridine derivs. via hydrogenation and phosphitylation of uracil derivs. as key steps)
RN 349654-62-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 444811-82-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 3'- and 2'-O-phosphoramidites of 2'- and 3'-difluoromethyluridine derivs. via hydrogenation and phosphitylation of uracil derivs. as key steps)
RN 444811-82-9 CAPLUS
CN Uridine, 2'-deoxy-2'-(difluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Sep 2001
ACCESSION NUMBER: 2001:675066 CAPLUS
DOCUMENT NUMBER: 136:37846
TITLE: Synthesis of some 2'- and 3'-fluoroalkyl substituted nucleosides and oligonucleotides
AUTHOR(S): Serafinowski, Pawel J.; Brown, Catherine A.; Barnes, Colin L.
CORPORATE SOURCE: CRC Centre for Cancer Therapeutics, Institute of Cancer Research, Surrey, SM2 5NG, UK
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001), 20(4-7), 921-925
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:37846

AB The 2'- and 3'-fluoroalkyl substituted nucleosides were prepared by hydrogenation of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityluridine, followed by detritylation, which gave two pairs of diastereoisomers (threo/erythro) each. Phosphitylation of prepared compds. furnished the corresponding 2'- and 3'-O-phosphoramidites. Reaction of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityl-3'-O-trimethylsilylethoxymethyluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityl-2'-O-trimethylsilylethoxymethyluridine with tetrabutylammonium fluoride, resulted in fluorination at the unsatd. difluoromethylene carbon with loss of the trimethylsilylethoxymethyl group and formation of 2',3'-didehydro-2',3'-dideoxy-5'-O-dimethoxytrityl-2'-

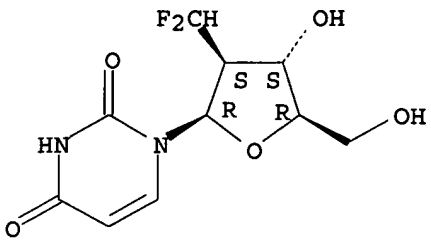
trifluoromethyluridine and 2',3'-didehydro-2',3'-dideoxy-5'-O-dimethoxytrityl-3'-trifluoromethyluridine, resp.

IT 349654-62-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of fluoroalkyl substituted nucleosides and nucleotides by fluorination, or hydrogenation, detritylation and phosphitylation)

RN 349654-62-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 May 2001
ACCESSION NUMBER: 2001:362036 CAPLUS
DOCUMENT NUMBER: 135:107541
TITLE: Synthesis of 3'-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine
AUTHOR(S): Marcotte, Stephane; Gerard, Baudoin; Pannecoucke, Xavier; Feasson, Christian; Quirion, Jean-Charles
CORPORATE SOURCE: Laboratoire d'Heterochimie Organique associe au CNRS, IRCOF, INSA et Universite de Rouen, Mont Saint-Aignan, 76821, Fr.
SOURCE: Synthesis (2001), (6), 929-933
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:107541

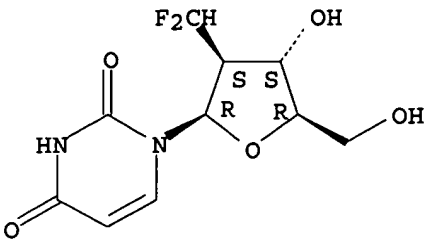
AB The synthesis of 3'-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine by hydrogenation of the corresponding difluoromethylene derivs. is described. A second synthesis of the latter has been performed. Starting from thymidine, a two-step procedure affords the benzylated furanoid glycal. Addition of dibromodifluoromethane gives the α-2'-deoxy-2'-bromodifluoromethylarabinose. This compound allowed an access to α- or β-2'-deoxy-2'-difluoromethyluridine via a SN2 type reaction on a α-halodeoxyarabinose species.

IT 349654-62-2P 349654-68-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 3-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine)

RN 349654-62-2 CAPLUS

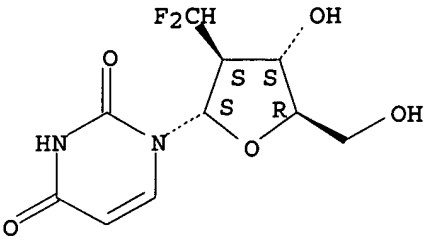
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 349654-68-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

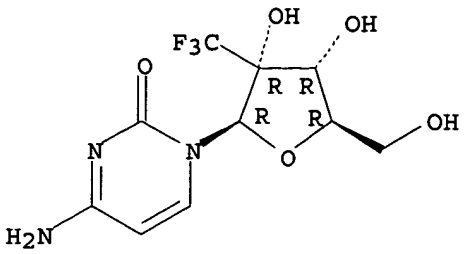
Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

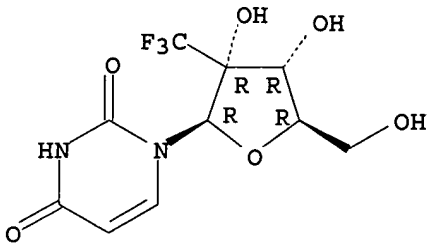
L4 ANSWER 8 OF 12 ~~CAPLUS~~ COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Mar 2001
ACCESSION NUMBER: 2001:162325 CAPLUS
DOCUMENT NUMBER: 134:296038
TITLE: 2'-C-Branched Ribonucleosides. 2. Synthesis of 2'-C-β-Trifluoromethyl Pyrimidine Ribonucleosides
AUTHOR(S): Li, Nan-Sheng; Tang, Xiao-Qing; Piccirilli, Joseph A.
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology and Department of Chemistry, The University of Chicago Howard Hughes Medical Institute, Chicago, IL, 60637, USA
SOURCE: Organic Letters (2001), 3(7), 1025-1028
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:296038
AB The first synthesis of 2'-C-β-trifluoromethyl pyrimidine ribonucleosides is described. 1,2,3,5-Tetra-O-benzoyl-2-C-β-trifluoromethyl-α-D-ribofuranose is prepared from 1,3,5-tri-O-benzoyl-α-D-ribofuranose in three steps and converted to 3,5-di-O-benzoyl-2-C-β-trifluoromethyl-α-D-1-ribofuranosyl bromide (I). The 1-bromo derivative I is found to be a powerful reaction intermediate for the synthesis of ribonucleosides. The reaction of silylated pyrimidines with I in the presence of HgO/HgBr2 affords exclusively the β-anomers, which after deprotection with ammonia in methanol yields the 2'-C-β-trifluoromethyl nucleosides.
IT 333996-73-9P 333996-74-0P 333996-75-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 2'-C-branched trifluoromethyl pyrimidine ribonucleosides)
RN 333996-73-9 CAPLUS
CN Cytidine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



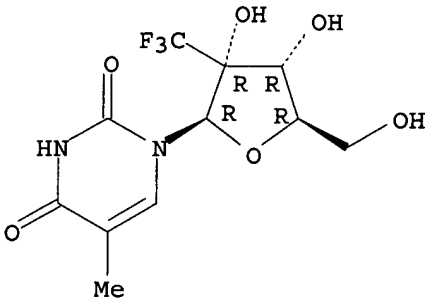
RN 333996-74-0 CAPLUS
CN Uridine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333996-75-1 CAPLUS
CN Uridine, 5-methyl-2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Nov 1994
ACCESSION NUMBER: 1995:128314 CAPLUS
DOCUMENT NUMBER: 122:10468
TITLE: Preparation of 2'-deoxy-2'-(S)-substituted alkylcytidines as anticancer agents
INVENTOR(S): Yoshimura, Juichi; Saito, Kazuko; Ashida, Noryuki; Matsuda, Akira
PATENT ASSIGNEE(S): Yamasa Shoyu Kk, Japan; Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06211890	A2	19940802	JP 1993-3532	19930112

PRIORITY APPLN. INFO.: JP 1993-3532 19930112
OTHER SOURCE(S): MARPAT 122:10468
GI

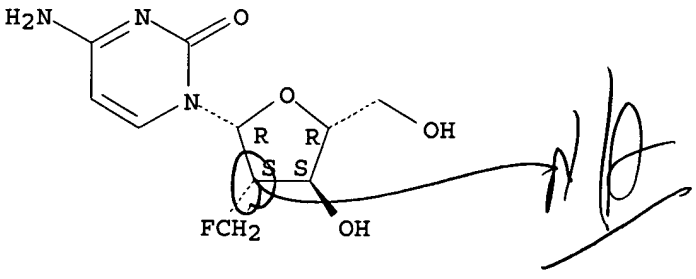
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I (R1 = OH, NH2; R2 = OH, acyloxy, halo; R3 = H, phosphate residue) or their salts are prepared by epoxidn. of II (R1 = same as above; Z = protecting group) with S ylides via III (R1, Z = same as above) and IV (R1, R2, Z = same as above). IV (R1 = OH, R2 = F, Z = trityl) was deprotected and treated with 1,3-dichloro-1,1,3,3-tetraisopropyldisiloxane in pyridine at room temperature overnight to give 59% 3',5'-di-O-tetraisopropyldisiloxyl-2'-fluoromethyl derivative The product was treated with methyloxalyl chloride and 4-dimethylaminopyridine in CH2Cl2 at room temperature overnight and the resulting crude product was refluxed with tributyltin hydride and AIBN in MePh for 2 h to afford tetraisopropyldisiloxyl-protected I (R1 = OH, R2 = F) (V). Amination and deprotection of V gave I (R1 = NH2, R2 = F, R3 = H), which inhibited cell growth of human leukemia cell at ID50 0.030 μg/mL.

IT 152502-85-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of anticancer 2'-deoxy-2'-(S)-alkylcytidines by epoxidn. of protected ketouridines)

RN 152502-85-7 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[2-deoxy-2-(fluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

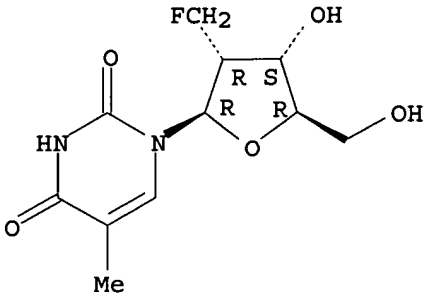
Absolute stereochemistry.



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Nov 1994
ACCESSION NUMBER: 1995:66277 CAPLUS
DOCUMENT NUMBER: 122:56380
TITLE: The effects of 2'- and 3'-alkyl substituents on oligonucleotide hybridization and stability
AUTHOR(S): Schmit, Chantal; Bevierre, Marc-Olivier; De Mesmaeker, Alain; Altmann, Karl-Heinz
CORPORATE SOURCE: Cent. Res. Lab., CIBA, Basel, CH-4002, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(16), 1969-74

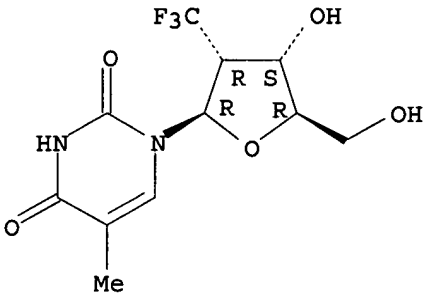
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The hybridization properties and nuclease resistance of 2'- and 3'-alkyl, -heteroalkyl, -alkenyl, and -aryl substituted oligodeoxyribonucleotides have been investigated. While such modified oligonucleotides generally exhibit reduced binding affinity for complementary RNA and DNA, a dramatic increase in stability against 3'-exonucleases was observed for certain 2'-substituents.
IT 159312-36-4 159312-37-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, hybridization, and exonuclease stability of oligodeoxyribonucleotides)
RN 159312-36-4 CAPLUS
CN Uridine, 2'-deoxy-2'-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159312-37-5 CAPLUS
CN Uridine, 2'-deoxy-5-methyl-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 06 Aug 1994
ACCESSION NUMBER: 1994:449688 CAPLUS
DOCUMENT NUMBER: 121:49688
TITLE: Synthesis of 1-(2-deoxy-2-C-fluoromethyl-β-D-arabinofuranosyl)cytosine as a potential antineoplastic agent
AUTHOR(S): Yoshimura, Yuichi; Saitoh, Kazuko; Ashida, Noriyuki; Sakata, Shinji
CORPORATE SOURCE: Res. Dev. Div., Yamasa Corp., Choshi, 288, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(5), 721-4
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal

LANGUAGE: English

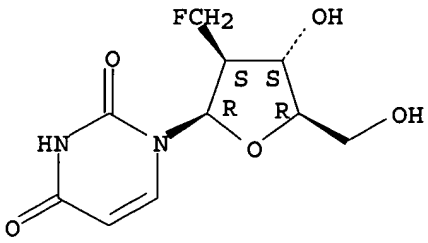
AB 2'-β-Spiroepoxyuridine was obtained from the reaction between 2'-ketouridine and dimethylsulfoxonium methylide. The oxirane ring was cleaved by KFHF and the resulting tertiary hydroxyl group was removed by radical deoxygenation using the t-Me oxalyl-tributyltin hydride system to give 2-deoxy-2-C-fluromethyl-1-β-D-arabinofuranosyluracil derivative Finally, the uracil moiety was converted to a cytosine counterpart, followed by deprotection to yield the title compound

IT 156179-26-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of)

RN 156179-26-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(fluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 05 Mar 1994

ACCESSION NUMBER: 1994:94931 CAPLUS

DOCUMENT NUMBER: 120:94931

TITLE: Synthesis and biological activity of 1-(2-deoxy-2-C-fluoromethyl- and 2-C-hydroxymethylarabinofuranosyl)-cytosines

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CORPORATE SOURCE: Res. Dev. Div., Yamasa Corp., Choshi, 288, Japan

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LANGUAGE: English

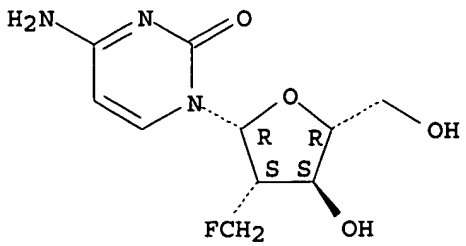
AB The authors newly synthesized 1-(2-deoxy-2-C-fluoromethyl- and 2-C-hydroxymethylarabinofuranosyl)cytosines and evaluated their biol. activities. The syntheses of these compds. were achieved by radical deoxygenation of tert-alc. of 2'-position of the corresponding fluorohydrine and acetoxymethyl derivative 1-(2-Deoxy-2-C-fluoromethylarabinofuranosyl)cytosine showed potent antileukemic and anticytomegalovirus activities.

IT 152502-85-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antileukemic and virucidal activity of)

RN 152502-85-7 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-deoxy-2-(fluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


H2N
N
O
N
O
R
R
S
S
OH
FCH2
OH